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     1
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     3.
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      5
        NOV 30
                 PHAR reloaded with additional data
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     6 DEC 01
                 LISA now available on STN
                 12 databases to be removed from STN on December 31, 2004
NEWS
     7 DEC 09
NEWS 8 DEC 15
                 MEDLINE update schedule for December 2004
NEWS 9 DEC 17
                 ELCOM reloaded; updating to resume; current-awareness
                 alerts (SDIs) affected
      10 DEC 17
NEWS
                 COMPUAB reloaded; updating to resume; current-awareness
                 alerts (SDIs) affected
                 SOLIDSTATE reloaded; updating to resume; current-awareness
NEWS
      11 DEC 17
                 alerts (SDIs) affected
                 CERAB reloaded; updating to resume; current-awareness
NEWS
     12 DEC 17
                 alerts (SDIs) affected
NEWS
      13 DEC 17
                 THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
     14 DEC 30
NEWS
                 EPFULL: New patent full text database to be available on STN
     15 DEC 30
NEWS
                 CAPLUS - PATENT COVERAGE EXPANDED
NEWS 16 JAN 03
                 No connect-hour charges in EPFULL during January and
                 February 2005
NEWS
     17 FEB 25
                 CA/CAPLUS - Russian Agency for Patents and Trademarks
                 (ROSPATENT) added to list of core patent offices covered
NEWS
     18 FEB 10
                 STN Patent Forums to be held in March 2005
NEWS 19 FEB 16
                 STN User Update to be held in conjunction with the 229th ACS
                 National Meeting on March 13, 2005
NEWS 20 FEB 28
                 PATDPAFULL - New display fields provide for legal status
                 data from INPADOC
NEWS 21 FEB 28
                 BABS - Current-awareness alerts (SDIs) available
NEWS 22 FEB 28
                 MEDLINE/LMEDLINE reloaded
NEWS 23 MAR 02
                 GBFULL: New full-text patent database on STN
NEWS 24 MAR 03
                 REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS 25 MAR 03
                 MEDLINE file segment of TOXCENTER reloaded
NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP)
              AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
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NEWS INTER
              General Internet Information
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              Welcome Banner and News Items
NEWS PHONE
              Direct Dial and Telecommunication Network Access to STN
NEWS WWW
              CAS World Wide Web Site (general information)
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Enter NEWS followed by the item number or name to see news on that

10/762,552R>

specific topic.

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=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 3 MAR 2005 HIGHEST RN 842103-48-4 DICTIONARY FILE UPDATES: 3 MAR 2005 HIGHEST RN 842103-48-4

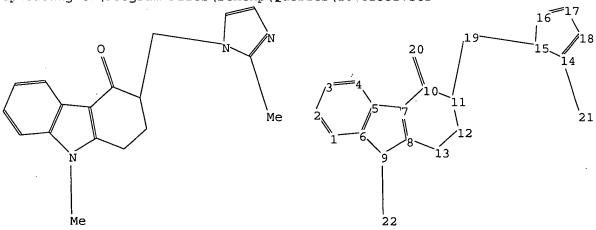
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\Program Files\Stnexp\Queries\10762552.str



chain nodes : 19 20 21 22 ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 chain bonds : 9-22 10-20 11-19 14-21 15-19 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-13 10-11 11-12 12-13 14-15 14-18 15-16 16-17 17-18 exact/norm bonds : 6-9 8-9 10-20 14-15 14-18 15-16 15-19 17-18 exact bonds : 5-7 7-8 7-10 8-13 9-22 10-11 11-12 11-19 12-13 14-21 16-17 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems : containing 1 : 14 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS 20:CLASS 21:CLASS 22:CLASS

L1STRUCTURE UPLOADED

=> s l1 SAMPLE SEARCH INITIATED 08:14:59 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 4 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE** PROJECTED ITERATIONS: 9 TO

360 PROJECTED ANSWERS: 4 TO 200

L24 SEA SSS SAM L1

=> d scan

4 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN 1.2

4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1yl)methyl]-, monohydrochloride, compd. with 2-propanol (2:1) (9CI)

MF C18 H19 N3 O . 1/2 C3 H8 O . C1 H

> CM1

HCl

CM 2

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 11 ful

FULL SEARCH INITIATED 08:15:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 158 TO ITERATE

100.0% PROCESSED 158 ITERATIONS

51 ANSWERS

SEARCH TIME: 00.00.01

L3 51 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 161.76 161.97

FILE 'CAPLUS' ENTERED AT 08:15:48 ON 05 MAR 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 5 Mar 2005 VOL 142 ISS 11 FILE LAST UPDATED: 4 Mar 2005 (20050304/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s 13
L4
          1312 L3
=> s 14 and (process or make or made or prepara? or sythesi?)
       2056920 PROCESS
       1371333 PROCESSES
       3059123 PROCESS
                 (PROCESS OR PROCESSES)
        205150 MAKE
        158473 MAKES
        353386 MAKE
                 (MAKE OR MAKES)
       1137247 MADE
            23 MADES
       1137267 MADE
                 (MADE OR MADES)
       1436226 PREPARA?
       2554887 PREPN
        198514 PREPNS
       2705271 PREPN
                 (PREPN OR PREPNS)
       3467614 PREPARA?
                 (PREPARA? OR PREPN)
            36 SYTHESI?
L5
           189 L4 AND (PROCESS OR MAKE OR MADE OR PREPARA? OR SYTHESI?)
=> s 15 and amine
        253155 AMINE
        239528 AMINES
        387954 AMINE
                 (AMINE OR AMINES)
            11 L5 AND AMINE
L6
=> s 15 and carbazolone
           145 CARBAZOLONE
            36 CARBAZOLONES
           159 CARBAZOLONE
                 (CARBAZOLONE OR CARBAZOLONES)
L7
            18 L5 AND CARBAZOLONE
=> s 15 and formaldehyde
       133701 FORMALDEHYDE
         370 FORMALDEHYDES
        133806 FORMALDEHYDE
                (FORMALDEHYDE OR FORMALDEHYDES)
L8
           11 L5 AND FORMALDEHYDE
=> dup rem 18 17 16
PROCESSING COMPLETED FOR L8
PROCESSING COMPLETED FOR L7
PROCESSING COMPLETED FOR L6
L9
             35 DUP REM L8 L7 L6 (5 DUPLICATES REMOVED)
=> d 19 ibib hitstr abs 1-35
    ANSWER 1 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 1
ACCESSION NUMBER:
                         2004:611926 CAPLUS
DOCUMENT NUMBER:
                         141:157118
TITLE:
                         Process for the preparation of
                         ondansetron and its intermediates by use of a fixation
```

agent

INVENTOR(S):

Hesoun, Dusan; Hykl, Jiri

PATENT ASSIGNEE(S):

Synthon BV, Neth. Fr. Demande, 22 pp.

SOURCE:

CODEN: FRXXBL

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.						DATE			APPL					Di	ATE	
F	 R 2850	381			A1		2004	0730							2	0030	403
GI	3 2398	071			A1		2004	0811	(GB 2	003-	4924			2	0030	304
WC	2004	0653	81		A1		2004	0805	1	WO 2	003-1	EP274	43		2	0030	314
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		CO,	CR,	ĊŪ,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW						•
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
							ΙE,										
							CM,										-
US	3 2004	1810	76		A1		2004	0916	1	US 2	004-	7625	52		2	0040	123
PRIORIT	PRIORITY APPLN. INFO.:						•			US 2	003-	4420	55P		P 2	0030	124
OTHER S	SOURCE	(S):			MAR	TAS	141:	1571	18								

IT 99614-02-5P, Ondansetron

RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PYP (Physical process); PREP (Preparation); PROC (Process)

(product; use of a fixation agent in the **preparation** of ondansetron by Mannich condensation - transamination)

RN 99614-02-5 CAPLUS

CN ,4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

AB The invention is related to the use of a fixation agent in a process for preparation of ondansetron (I) by Mannich condensation - transamination, i.e. reacting carbazolone (II) with CH2O or precursor, an amine of formula R1R2NH or its salt, and 2-methyl-1H-imidazole or one of its salts at high temperature in a polar non-aqueous

solvent. A mixture of two intermediates is claimed as a result of Mannich reaction. The advantages include higher reaction yield, and lower reaction time and temperature For example ondansetron was prepared by heating

mixture of II, paraformaldehyde, (CH3)2NH•HCl, acetic anhydride, acetic acid, and DMF at 100-110° for 1 h, followed by addition of 2-methyl-1H-imidazole to the above reaction mixture and stirring for 5 h.

L9 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

Ι

ΙI

ACCESSION NUMBER:

2004:453190 CAPLUS

DOCUMENT NUMBER:

141:23529

TITLE:

а

Novel process for the preparation

of imidazolyl compounds, particularly ondansetron,

cilansetron, and analogs, using oxazolidine derivatives as formaldehyde equivalents in a

Mannich-like reaction

INVENTOR (S):

Verbeek, Jan-Maarten; Van Der Meij, Paulus F. C.

Solvay Pharmaceuticals B.V., Neth.

SOURCE:

PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT:

NT: 2

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PAT	ENT	NO.			KIN	D 1	DATE		1	APPL	I CAT	ION I	NO.		D	ATE	
		0463	1.		7.1	- :							·				
WU.	2004	0461	Τρ		A1		2004	0603	,	NO Z	003-	EP50	841		20	003I.	117
	W :	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	ΚE,	KG,	KΡ,	KR,	ΚΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA;	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,
		NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,

TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO:

CASREACT 141:23529; MARPAT 141:23529

IT 99614-01-4P 99614-02-5P, Ondansetron
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
(Preparation)

(target compound; improved preparation of imidazole 5-HT antagonists
(ondansetron and cilansetron) using oxazolidine derivs. as
formaldehyde equivalent in Mannich-like reaction)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-

yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Me

Me

Me

● HCl

RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The invention relates to an improved method for the preparation of imidazolyl compds. I [wherein: Ra, Rb = C1-C6 alkyl, C1-C6 alkoxyalkyl, optionally substituted aryl or heteroaryl; or RaRb = fused homocyclic or heterocyclic system comprising one or more rings; Ra'Rb' = H2, carbon-carbon double bond (optionally part of an aromatic system); Rc = H, C1-C6 alkyl, C1-C6 alkoxy, C1-C6 alkoxyalkyl, or halogen; Rd = H or C1-C4 alkyl; Re = H or C1-C4 alkyl; m = 1 or 2; R1 = H or C1-C4 alkyl; as well as acid addition salts]. The method is characterized in that a cyclic ketone

10/762,552R>

of formula II reacts with an oxazolidine derivative III, followed by reaction with an imidazole IV, optionally followed by reaction with a suitable acid [wherein: R1, Rd, and Re = as given above; R = H, C1-C4 alkyl optionally substituted with OH or an optionally substituted aryl group; R', R'', R''', and R'''' = H or C1-C4 alkyl]. The method is especially useful for the preparation of selective neuronal 5-HT receptor antagonists, which are useful as anti-migraine and antipsychotic agents, e.g., ondansetron and cilansetron. The method is superior to prior art Mannich processes using formaldehyde, which give tar-like byproducts when scaled up. For instance, 1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one and MeSO3H in BuOH were heated to 90° and then treated with 3-oxazolidineethanol in BuOH, and the mixture was heated for 50 min at 80°. Then, 2-methylimidazole in BuOH was added and the mixture was stirred for 2 h at 120°. Extraction and crystallization gave V.HCl.

i.e. ondansetron HCl, in 70.1% yield and \geq 95% purity, with an addnl. 14.5% product in the mother liquor. Similar **prepns.** of (\pm)-cilansetron HCl and another compound are also given.

L9 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:652673 CAPLUS

DOCUMENT NUMBER: 141:174173

TITLE: Process for the preparation of

imidazolyl compounds

INVENTOR(S): Verbeek, Jan-Maarten; Van der Meij, Paulus F. C.

PATENT ASSIGNEE(S): Solvay Pharmaceuticals B.V., Neth.

SOURCE: U.S. Pat. Appl. Publ., 8 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004158077	A1	20040812	US 2003-712258		20031114
PRIORITY APPLN. INFO.:		•	EP 2002-79838	Ą	20021118
		•	NL 2002-1021939	4	20021118

OTHER SOURCE(S): MARPAT 141:174173

IT .99614-01-4P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of imidazolyl compds.)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

AB The invention discloses a method for the preparation of imidazolyl compds., such as I [R1, R3 = alkyl, alkoxyalkyl, optionally substituted aryl or heteroaryl; R1R3 = fused homocyclic or heterocyclic system comprising one or more rings; R2, R4 = H, double bond (optionally part of an aromatic system); R3 = H, alkyl, alkoxy, alkoxyalkyl, halogen; R4, R5, R7, R8 = H, alkyl; m = 1 - 2; R6 = H, alkyl, acid addition salts, by reacting a cyclic ketone of formula II with an oxazolidine derivative III (R = H, alkyl optionally substituted with OH or an optionally substituted aryl group; Ra, Rb, Rc, Rd= H, alkyl), followed by reaction with an imidazole IV. Thus, 5,6,9,10-tetrahydro-4H-pyrido[3,2,1-jk]carbazol-11(8H)-4-one and MeSO3H in BuOH were heated to 70° C and then treated with 3-oxazolidineethanol in BuOH, and the mixture was heated for 50 min at 80° C. Then, 2-methylimidazole in BuOH was added and the mixture was stirred for 2 h at 120° C to afford V.HCl in 77% yield. The method is especially useful for the preparation of selective neuronal 5-HT receptor antagonists, which are useful as anti-migraine and antipsychotic agents.

L9 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:354930 CAPLUS

140:357350

TITLE:

Neutralization, cooling and crystallization

process for the preparation of

high-purity ondansetron hydrochloride dihydrate from

ondansetron free base

INVENTOR(S): Czibula, Laszlo; Dobay, Laszlo; Werkne Papp, Eva;

Nagyne Bagdy, Judit; Deutschne Juhasz, Ida;

Ueberhardt, Tamasne; Terdy, Laszlo; Hegedus, Istvan;

Toth, Geza; Olah, Ruben

PATENT ASSIGNEE(S):

DOCUMENT NUMBER:

Richter Gedeon Vegyeszeti Gyar Rt., Hung.

SOURCE: PCT Int. Appl., 10 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT	NO.					DATE			APPL:					Dž	ATE	
	WO 200						2004	0429							2	0031	016
	W :		AG,														
			CR,							-	-	-	-	-	-	-	
			HR,														
•		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,
			TT,														
	RW	: GH,													AM,	AZ,	BY,
		KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
PRIO	RITY AP	PLN.	INFO	.:]	HU 20	002-3	3547		7	A 20	0021	017
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	proces																ocess)
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	preparation of high-purity ondansetron hydrochloride dihydrate from																
	ondansetron free base)																
RN	103639	-04-9	CA	PLUS													
CN	4H-Car							_			-			-			azol-1-
	vl)met	hvll-	. mo:	nohv	drocl	hlor	ide.	dih	vdra	te (9CI)	(C)	A INI	DEX 1	VAME)	

● HCl

●2 H₂O

yl)methyl] - (9CI) (CA INDEX NAME)

AΒ High-purity ondansetron hydrochloride dihydrate, containing ≤0.10% chemical impurities, is prepared by the neutralization of ondansetron base with aqueous HCl in water at 95-100° to pH 1-2 and cooling the filtered solution at 0:1-1°/min to 20-25° to promote ondansetron hydrochloride dihydrate crystallization

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

2

ACCESSION NUMBER: 2004:568259 CAPLUS

DOCUMENT NUMBER: 141:128823

TITLE: Ondansetron crystal forms and process for

their preparation

INVENTOR(S): Westheim, Raymond Josef Hubertus; Van Dalen, Frans

PATENT ASSIGNEE(S): Synthon BV, Neth. Fr. Demande, 35 pp. SOURCE:

CODEN: FRXXBL

DOCUMENT TYPE:

Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.			KIN	D	DATE		į	APPL	ICAT	ION I	NO.		D	ATE	
FR 284	9852			A1	-	2004	0716		FR 2	003-	8044			2	0030	702
NL 102	2893			C2		2004	0713	1	NL 2	003-	1022	893		2	0030	311
WO 200	406318	39		A1		2004	0729	1	WO 2	003-	EP27	45		2	0030	314
W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	ВG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
RV	: GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
GB 239	8566			A1		2004	0825	(GB 2	003-	6944			2	0030	326
US 200	419879	94		A1		2004	1007	1	US 2	004-	7502	11		2	0040	102
PRIORITY A	RIORITY APPLN. INFO.:							1	US 2	003-	4387	80P]	2 (0030	109
TT 00614	^^ -	0540	~~~													

99614-02-5, Ondansetron

RL: PRP (Properties); TEM (Technical or engineered material use); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (ondansetron crystal forms and process for their

preparation)

RN 99614-02-5 CAPLUS

4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-CN yl)methyl] - (9CI) (CA INDEX NAME)

AB An ondansetron crystalline solid is prepared and characterized in that it has at

least one of the following: a peak of endotherm of fusion ≥240°; trace quantities of a base or a residue of an alkaline metal, an **amine**, an ammonium ion, etc.; and a water content of 1.3-1.5%. X-ray diffraction patterns of the crystalline solids are presented.

L9 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2003:538283 CAPLUS

DOCUMENT NUMBER:

140:270849

TITLE:

Method for preparing 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazole-1-yl)methyl]-4H-carbazol-4-one or

its pharmaceutically acceptable salts

INVENTOR (S):

Kankan, Rajendra N.; Rao, Dharmaraj R.

PATENT ASSIGNEE(S):

Cipla Ltd., India

SOURCE:

Russ., No pp. given

CODEN: RUXXE7

DOCUMENT TYPE:

Patent

LANGUAGE:

Russian

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				-
RU 2207340	C2	20030627	RU 2001-122307	20010810
PRIORITY APPLN. INFO.:			RU 2001-122307	20010810

IT 99614-01-4P 99614-02-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(method for preparing 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazole-1-yl)methyl]-4H-carbazole-4-one or its pharmaceutically acceptable salts)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title method involves the reaction of of tetrahydrocarbazolone (II) with morpholine at temperature from 110° with reflux followed by addition of formaldehyde or paraformaldehyde to yield the (morpholinomethyl)tetrahydrocarbazolone (III) that is reacted with 2-methylimidazole to yield 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazole-1-yl)methyl] -4H-carbazol-4-one (I, m.p. 155-156°; maximum pharmaceutical dosage concentration 10.2 mg/kg) followed by acid salification

give I salts (e.g., I hydrochloride, m.p. 186-187°; maximum pharmaceutical dosage concentration 10.3 mg/kg).

L9 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2003:947652 CAPLUS

DOCUMENT NUMBER:

139:399828

TITLE:

Crystal forms of ondansetron, method for

preparation and use in drug formulations

PATENT ASSIGNEE(S):

Synthon B.V., Neth.

SOURCE:

Ger. Gebrauchsmusterschrift, 42 pp.

CODEN: GGXXFR

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-			
DE 20312772	U1	20031204	DE 2003-20312772	20030819
PRIORITY APPLN. INFO.:			DE 2003-20312772	20030819

IT 99614-02-5P, Ondansetron

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (crystal forms of ondansetron, method for preparation and use in drug formulations)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

IT 103639-04-9, Ondansetron hydrochloride dihydrate RL: RCT (Reactant); RACT (Reactant or reagent)

(crystal forms of ondansetron, method for **preparation** and use in drug formulations)

RN 103639-04-9 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)

● HCl

●2 H₂O

AR The invention concerns crystal forms of ondansetron that have a m.p. equal or higher than 240 °C and that contain traces of alkali metals (sodium), amines or ammonium originating from the prepn .; the contaminations are in the range of 1 ppm to 1000 ppm; the crystals can also contain 1.3-1.5 % water. Ondansetron crystals are characterized by their DTG curves and powder X-ray diffractograms. Thus ondansetron base was prepared from ondansetron hydrochloride dihydrate by dissolving the salt in ethanol and neutralizing with aqueous sodium hydroxide. The crystals were filtered, washed and dryed. Recrystalization of the ondansetron base was performed in methanol by heating and cooling; needle crystals were formed. An injection solution contained pro mL: ondansetron base 2.00 mg; citric acid monohydrate 0.5 mg; sodium citrate dihydrate 0.25; sodium chloride 9.0; 1 M hydrochloric acid 6.8 μL; addnl. 1 M hydrochloric acid or 1M sodium hydroxide to set pH 3-5; water to 1.0 mL; nitrogen or argon q.s.

L9 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:367310 CAPLUS

DOCUMENT NUMBER:

136:369715

TITLE:

Regioselective process for the

preparation of 1,2,3,9-tetrahydro-9-methyl-3-

[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one Lee, Kwang-Ok; Kim, Hee-Seock; Ham, Young-Jin; Kim,

INVENTOR(S):

Maeng-Sup; Kim, Han-Kyeng; Kim, Cheol-Kyeung; Jung,

Kum-Sin; Lee, Hoe-Chul; Kim, Ki-Eun; Lee, Gwan-Sun

PATENT ASSIGNEE(S): Hanmi Pharm. Co., Ltd., S. Korea

SOURCE: U.S., 7 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

I	PAT	ENT 1	NO.			KINI)	DATE		AP	PLI	CAT	ION	NO.			DATE	
-							-											
ι	JS	6388	091			В1		2002	0514	US	20	01-	9900	41			20011	120
Ţ	JS	2002	0619	19		A1		2002	0523									
ŀ	(R	2002	0392	23		Α		2002	0525	KR	20	01-	4152	4			20010	711
E	EΡ	1207	160			A1		2002	0522	EP	20	01-	1269	98			20011	114
		R:	ΑT,	BE,	CH,	DE,	DK	, ES,	FR,	GB, G	R,	ΙΤ,	LI,	LU,	NL,	SE	, MC,	PT,
			ΙE,	SI,	LT,	LV,	FI	, RO,	MK,	CY, A	Ն, ՝	TR						
ċ	JΡ	2002	1550'	75		A2		2002	0528	JP	20	01-	3542	04			20011	120
Ċ	JΡ	3472	285			B2		2003	1202									
PRIORI	TY	APP	LN.	INFO	. :					KR	20	00-	6893	1		A	20001	120
										KR	20	01 -	4152	4		Δ	20010	711

OTHER SOURCE(S): CASREACT 136:369715

IT 99614-01-4P 99614-02-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (regioselective process for the preparation of)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

AB Pure 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one, or pharmaceutically acceptable salts (e.g., the

hydrochloride), useful as antiemetics (no data), are prepared in a high yield by a simple process in which 1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one is reacted with a 2-methylimidazole derivative [e.g., 1-(N,N-dimethylaminomethyl)-2-methylimidazole] in an organic solvent or in a mixture of an organic solvent (e.g., acetonitrile) and water in the presence of a halosilane compound (e.g., chlorotrimethylsilane).

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

L9 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:539655 CAPLUS

DOCUMENT NUMBER:

137:93754

TITLE:

An improved process for preparing pure

ondansetron hydrochloride dihydrate

INVENTOR(S):

Hadas, Ramy Lidor; Bachar, Eliezer

PATENT ASSIGNEE(S):

Teva Pharmaceutical Industries Ltd., Israel; Teva

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Pharmaceuticals USA, Inc.

SOURCE:

PCT Int. Appl., 17 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.							DATE		i						D	ATE	
	WO	2002	0554	92		A2				1		002-				2	0020	111
Ţ	WO	2002	0554	92		A3		2003	0213									
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
								DK,										
			•	•	•	•		IN,								-	-	-
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								YU,	•	•	-	-			-	•	-	-
			TJ.		05,	02,	V 14 ,	10,	uп,	ZI.1,	۵۱۱,	т,	A4,	ы,	no,	102,	IID,	κο,
		Dut.	•		ИD	T C	MTAT	MIZ	CD	CT	CZ	TV CZ	TIC	77 M	7747	7 TP	יסכו	CH
	•	RW:	•	•		•	•	•					•		•	-		-
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				•		•	•	CM,		•			•			-		
		2433																
		2002																
	EΡ	1355	881			A2		2003	1029		EP 2	002-	7031	15		2	0020	111
	-	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LΙ,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
	ZA	2003	0053	38		Α		2004	0712		ZA 2	003-	5338			2	0020	111
•	TR	2004	0146	0		Т3		2004	0823		TR 2	004-	2004	0146	0	2	0020	111
ı	JΡ	2004	5266	92		T2		2004	0902	1	JP 2	002-	5561	65		2	0020	
																	0030	709
	NO 2003003147 PRIORITY APPLN. INFO.:																	
	RIORITI AFFEIN. INFO			• •							002-					0020		
T.00				•										_				

IT 99614-02-5P, Ondansetron

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (improved process for preparing pure ondansetron hydrochloride dihydrate)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

IT 103639-04-9P, Ondansetron hydrochloride dihydrate

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(improved **process** for preparing pure ondansetron hydrochloride dihydrate)

RN 103639-04-9 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)

HCl

●2 H₂O

AB Ondansetron hydrochloride dihydrate with a purity of ≥ 99.0% is prepared by treating 1,2,3,9-tetrahydro-9-methyl-4H-4-carbazolone with Me2NH.HCl and CH2O in presence of HOAc to give the 3-dimethylaminomethyl derivative as its HCl salt, treating the latter with 2-methylimidazole, converting the resulting ondansetron base to its hydrochloride, and crystallizing the hydrochloride dihydrate in presence of activated carbon SX-1.

.9 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:849709 CAPLUS

DOCUMENT NUMBER: 137:353828

TITLE: Poly(alkylene oxide) having reduced formic compound

content for release dosage form

INVENTOR(S): Fan, You-Ling

PATENT ASSIGNEE(S): Union Carbide Chemicals & Plastics Technology

Corporation, USA

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.				KIN	D	DATE		i	APPL	CAT	I ON 1	NO.		D	ATE	
			- 			-						·			_		
WO	2002	0882	17		A1		2002	1107	1	WO 2	002-1	US13	444		2	0020	429
	W :	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CZ,	DE,	DK,	DM,	DZ,	EC,	ΕĖ,	ES,	FI,	GB,	GD,	GE,	GH,	GM,
		HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	OM,	PH,	PL,	PT,
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,
		US,	UΖ,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM	
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	ΒE,	CH,
		CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
EP	1385	898			A 1		2004	0204]	EP 2	002-	7340	76		2	0020	429
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
JP	2004	5307	48		T2		2004	1007	,	JP 2	002-	5855	14		2	0020	429
PRIORITY	PRIORITY APPLN. INFO.:			. :					1	US 2	001-	28788	85P]	P 2	0010	501
	RIORIII AFFLIN. INCO								1	WO 2	002-1	US13	444	7	<i>i</i> 2	0020	429

IT 99614-01-4, Ondansetron hydrochloride

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(poly(alkylene oxide) having reduced formic compound content obtained by treating with acid for release dosage form)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

AB Title particle comprises (i) a polymer polymerized from an alkylene oxide monomer having weight average weight 100,000-1,000,000 g/g mol and (ii) 0-200 ppm

(based on total weight of the particle) formic compound, such as formic acid and its salts and esters, wherein the particle has a core and an shell with concentration gradient of the formic compound (the core contains higher formic

compound content than shell). The method for reducing formic compound on surface of the polyoxyalkylene particle comprises treating the particle with an acid having pKa lower than the pKa of formic acid. Thus, a slurry containing 70 parts Polyox WSR N 80NF (polyethylene oxide) with inorg. formate level >500 ppm was mixed with 20 mL hydrochloric acid (37%) and 480 mL iso-Pr alc. at room temperature for 60 min and washed to give a polymer with inorg. formate content <150 ppm.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2002:39607 CAPLUS

DOCUMENT NUMBER:

136:96093

TITLE:

Methods and compositions using a sibutramine

metabolite or other dopamine uptake inhibitors for the

treatment and prevention of sexual dysfunction

INVENTOR(S):

Jerussi, Thomas P.; Senanayake, Chrisantha H.; Fang,

Qun K.

PATENT ASSIGNEE(S):

Sepracor, Inc., USA

SOURCE:

U.S., 21 pp., Cont.-in-part of U.S. Ser. No. 372,158.

CODEN: USXXAM

DOCUMENT TYPE: LANGUAGE:

Patent English

5

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT NO.	KIN		APPLICATION NO.	DATE
US		B1 B1 A2 BE, CH, DE,	20020115 20011218 20041110	EP 2004-18454 GB, GR, IT, LI, LU,	
US	2002010198	8 A1	20020124	US 2001-770663	20010129
	6476078	B2	20021105		
	2422246	AA		CA 2001-2422246	
WO	2002022114			WO 2001-US28598	
				BA, BB, BG, BR, BY,	
				DZ, EC, EE, ES, FI,	
				JP, KE, KG, KP, KR,	
,				MK, MN, MW, MX, MZ,	
				SK, SL, TJ, TM, TR,	
				BY, KG, KZ, MD, RU,	
				SL, SZ, TZ, UG, ZW,	
				IE, IT, LU, MC, NL,	
			CM, GA, GN,	GQ, GW, ML, MR, NE,	SN, TD, TG
	2001089062		20020326	AU 2001-89062	20010913
EP	1320360	A1		EP 2001-968848	20010913
				GB, GR, IT, LI, LU,	NL, SE, MC, PT,
TD	•		FI, RO, MK,		20010012
	2004529850		20040930	JP 2002-526365	20010913 20021023
	2003096792	Z Al			
	200319526: 200406795		20031016 20040408 20040513 20040617	US 2003-395298 US 2003-665448	20030325 20030922 20031028
	200408793	/ A1	20040400	US 2003-663448	20030922
	200409248	4 7.1	20040513		
	200411035	5 7.1	20040017	US 2004-769860	20031121 20040203
	200410235		20040617 20040819 20040916	US 2004-705000 US 2004-806415	20040203
	Y APPLN. II		20040310		A2 19990811
INTORIT	1 1111 1111. 11			US 1998-97665P	P 19980824
				US 1998-99306P	P 19980902
				EP 1999-945137	A3 19990823
				US 1999-409889	A3 19991001
				US 2000-662135	A2 20000914
				US 2001-770663	A3 20010129
				WO 2001-US28598	W 20010913
				US 2001-806	A3 20011204
				US 2002-160033	A3 20020604
				US 2002-278097	A3 20021023
IT 99	614-02-5,	Ondansetron	99614-02-5D	, Ondansetron,	

stereoisomers, metabolites and clathrates

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(sibutramine metabolite or other dopamine uptake inhibitors for

treatment and prevention of sexual dysfunction)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

AB Methods are disclosed for the treatment and prevention of sexual dysfunction. The methods comprise the administration of a dopamine reuptake inhibitor and optionally an addnl. pharmacol. active compound Pharmaceutical compns. and dosage forms are also disclosed that comprise a dopamine reuptake inhibitor and optionally an addnl. pharmacol. active compound Preferred dopamine reuptake inhibitors are racemic or optically pure sibutramine metabolites and pharmaceutically acceptable salts, solvates, and clathrates thereof. Preferred addnl. pharmacol. active compds. include drugs that affect the central nervous system, such as 5-HT3 antagonists. Preparation of sibutramine metabolites is described.

REFERENCE COUNT:

125 THERE ARE 125 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L9 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:923891 CAPLUS

DOCUMENT NUMBER: 142:114062

TITLE: Method for preparation of

1,2,3,9-tetrahydro-9-methyl-3-((2-methyl-1h-imidazol-1-

yl)methyl)-4h-carbazol-4-one

INVENTOR(S): Jang, Sa Jeong; Kim, Chi Hyeon; Seo, Gyeong Jae

PATENT ASSIGNEE(S): Hana Pharm. Co., Ltd., S. Korea

SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given

CODEN: KRXXA7

DOCUMENT TYPE: Patent LANGUAGE: Korean

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

KR 2002043338 Α 20020610 KR 2000-72731 20001202 KR 2000-72731 20001202

PRIORITY APPLN. INFO.: 99614-02-5P

RL: IMF (Industrial manufacture); PREP (Preparation)

(preparation of tetrahydromethylmethylimidazolylmethylcarbazolone)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1yl)methyl] - (9CI) (CA INDEX NAME)

AB Provided a method for preparing 1,2,3,9-tetrahydro-9-methyl-3-((2-methyl-1himidazol-1-yl)methyl)-4h-carbazol-4-one represented by the formula(1), which does not involves separation and purification processes of intermediates, thus economically manufacture the compound of the formula(1) by one-pot reaction. 1,2,3,9-Tetrahydro-9-methyl-3-((2-methyl-1h-imidazol-1yl)methyl)-4h-carbazol-4-one represented by the formula(1) is manufactured by reacting 4H-carbazol-4-one of the formula(2) and amine compound of the formula(3) with catalyst to synthesize enamine intermediate; continuously reacting the enamine intermediate with dihalogenated methane and 2-methylimidazole; and hydrolyzing the resultant compound to obtain a compound of the formula(1).

ANSWER 13 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2001:730711 CAPLUS

DOCUMENT NUMBER:

135:272872

TITLE:

Process for the preparation of

2-methylimidazolium 9-methyl-3-(hydroxymethyl)-1,2,3,9-

tetrahydro-4H-carbazol-4-one-3-glyoxylate as an

intermediate for the preparation of ondansetron hydrochloride dihydrate

INVENTOR(S):

Czibula, Laszlo; Dobay, Laszlo; Greiner, Istvan; Werk Papp, Eva; Szantay, Csaba; Gazdag, Maria; Tarkanyi, Gabor; Zsoldos Babjak, Monika; Mihalyfi, Katalin

PATENT ASSIGNEE(S):

Richter Gedeon Vegyeszeti Gyar Rt., Hung.

SOURCE:

PCT Int. Appl., 11 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2001072716	A1 200110	004 WO 2001-HU35	20010327
W: AE, AG,	L, AM, AT, AU, A	AZ, BA, BB, BG, BR, BY, B	Z, CA, CH, CN,
CO, CR,	CU, CZ, DE, DK, I	DM, DZ, EE, ES, FI, GB, G	D, GE, GH, GM,
HR, HU,	D, IL, IN, IS, S	JP, KE, KG, KP, KR, KZ, L	C, LK, LR, LS,
LT, LU,	JV, MA, MD, MG, N	MK, MN, MW, MX, MZ, NO, N	Z, PL, PT, RO,
RU, SD,	SE, SG, SI, SK, S	SL, TJ, TM, TR, TT, TZ, U	A, UG, US, UZ,
VN, YU,	CA, ZW, AM, AZ, E	BY, KG, KZ, MD, RU, TJ, T	M
RW: GH, GM,	Œ, LS, MW, MZ, S	SD, SL, SZ, TZ, UG, ZW, A	T, BE, CH, CY,

10/762,552R>

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

A1 20030102 EP 2001-921685

AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

HU 2000-1287 A 20000328 WO 2001-HU35 20010327

OTHER SOURCE(S): CASREACT 135:272872

99614-02-5P, Ondansetron

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for the preparation of 2-methylimidazolium

9-methyl-3-(hydroxymethyl)-1,2,3,9-tetrahydro-4H-carbazol-4-one-3glyoxylate as an intermediate for the preparation of ondansetron

hydrochloride dihydrate)

RN 99614-02-5 CAPLUS

PRIORITY APPLN. INFO.:

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1yl)methyl] - (9CI) (CA INDEX NAME)

ΙT 103639-04-9P, Ondansetron hydrochloride dihydrate

RL: SPN (Synthetic preparation); PREP (Preparation)

(process for the preparation of 2-methylimidazolium

9-methyl-3-(hydroxymethyl)-1,2,3,9-tetrahydro-4H-carbazol-4-one-3glyoxylate as an intermediate for the preparation of ondansetron

hydrochloride dihydrate)

103639-04-9 CAPLUS RN

4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-CNyl)methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)

HC1

H20

2-Methylimidazolium 9-methyl-3-(hydroxymethyl)-1,2,3,9-tetrahydro-4H-AB carbazol-4-one-3-glyoxylate (I), useful as an intermediate for the preparation of ondansetron hydrochloride dihydrate, is prepared in high yield and selectivity by the reaction of formaldehyde with 9-methyl-3-(hydroxymethyl)-1,2,3,9-tetrahydro-4H-carbazol-4-one-3glyoxylic acid lactone (II) with 2-methylimidazole in chloroform and water, or by the reaction of 9-methyl-3-ethoxyallyl-1,2,3,9-tetrahydro-4Hcarbazol-4-one (III) in dioxane with aqueous formaldehyde and 2-methylimidazole.

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2005 ACS on STN ANSWER 14 OF 35

1

ACCESSION NUMBER:

2004:894374 CAPLUS

DOCUMENT NUMBER:

142:93819

TITLE:

Process for preparation of

1,2,3,9-tetrahydro-9-methyl-3-((2-methyl-1H-imidazole-

1-yl) methyl)-4H-carbazole-4-one

INVENTOR (S): PATENT ASSIGNEE(S): Hong, Yong Rae; Jang, Sa Jeong Hana Pharm. Co., Ltd., S. Korea

SOURCE:

Repub. Korean Kongkae Taeho Kongbo, No pp. given

CODEN: KRXXA7

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

Korean

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2001094388	Α	20011101	KR 2000-16613	20000330
PRIORITY APPLN. INFO.:			KR 2000-16613	20000330
T				

IT 99614-02-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of tetrahydromethylmethylimidazoleylmethylcarbazoleon e)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1yl)methyl] - (9CI) (CA INDEX NAME)

AΒ A process for producing 1,2,3,9-tetrahydro-9-methyl-3-((2-methyl-1H-imidazole-1-yl)methyl)-4H-carbazole-4-one is provided, therefore the titled compound can be economically and simply produced because a separation process of intermediates is not required. The process for producing 1,2,3,9-tetrahydro-9-methyl-3-((2-methyl-1H-imidazole-1yl)methyl)-4H-carbazole-4-one of the formula(1) comprises the steps of: reacting 4H-carbazole-4-one of formula(2) with amine compds. of formula(3) in the presence of a catalyst selected from titanium chloride, p-toluenesulfonic acid and anhydrous calcium carbonate to produce enamine intermediate; reacting the enamine intermediate with dihalogenated methane of formula(5) to produce the compound of formula(6); and reacting the compound of formula(6) with 2-methylimidazole.

L9 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:855886 CAPLUS

DOCUMENT NUMBER:

142:56300

TITLE:

Process for preparation of

1,2,3,9-tetrahydro-9-methyl-3-((2-methyl-1h-imidazol-1-

yl)methyl)-4h-carbazol-4-one

INVENTOR (S):

Yoo, Moo Hi; Lim, Geun Jho; Lim, Joong In; Kim, Dong

Sung; Kim, Ik Yon; Yang, Jae Sung; Shin, Hee Chan

PATENT ASSIGNEE(S):

SOURCE:

Dong-A Pharm. Co., Ltd., S. Korea Repub. Korea, No pp. given

CODEN: KRXXFC

DOCUMENT TYPE:

LANGUAGE:

Korean

Patent

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
KR 217466 PRIORITY APPLN. INFO.:	B1	19990901	KR 1997-33265 KR 1996-47758	۸	19970716 19961023
TT 00614-02-ED			100 1000-47700	Λ.	1001023

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of tetrahydromethylmethylimidazolylmethylcarbazolone)

RN99614-02-5 CAPLUS

4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-CNyl)methyl] - (9CI) (CA INDEX NAME)

10/762,552R>

Provided is a novel method for manufacturing 1,2,3,9-tetrahydro-9-methyl-3-((2-methyl-1H-imidazole-1-yl)methyl)-4H-carbazole-4-one and its pharmaceutically acceptable salts in a high yield. 1,2,3,9-Tetrahydro-9-methyl-3-((2-methyl-1H-imidazole-1-yl)methyl)-4H-carbazole-4-one represented by the formula (1) is prepared by: reacting 1,2,3,9-tetrahydro-9-methyl-4H-carbazole-4-one with a formaldehyde producing material such as formaldehyde solution and paraformaldehyde and proper base, in the presence of proper acid, in reaction solution to obtain 1,2,3,9-tetrahydro-9-methyl-3-methylene-4H-carbozole-4-on of the formula (10) (wherein each R1 and R 2 is a linear or branched low alkyl of C1-C6, Ph group, or circle form represented by -(CH2)n- or -(CH2)a-X-(CH2)b-; X is N,0,S; each n,a, and b is an integer of 1-10); and adding Lewis acid and 2-methylimidazole to the resultant compound followed by refluxing in the solvent.

L9 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1998:89839 CAPLUS

DOCUMENT NUMBER:

128:102091

TITLE:

Preparation of carbazolones

INVENTOR(S):

He, Ping; Fan, Guoping

PATENT ASSIGNEE(S):

Shanghai Hualian Pharmaceutical Co., Peop. Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, 9 pp.

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1145902	A	19970326	CN 1995-111775	19950922
PRIORITY APPLN. INFO.:			CN 1995-111775	19950922
OMITTE GOLD OF (G)	ar ar r	ACT 100 1000	1 MADDAM 100 100001	

OTHER SOURCE(S):

CASREACT 128:102091; MARPAT 128:102091

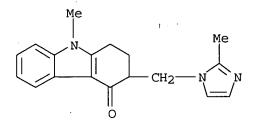
IT 99614-01-4P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of carbazolones)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



$$\bigcap_{N} CH_2 - N \longrightarrow N$$

$$R$$

$$I$$

AB Imidazolymethylcarbazolones I (R = H, Me, Et, Pr, iso-Pr, cyclopentyl, etc.) and their salts were prepared from carbazolones II by alkoxycarbonylation with dialkyl carbonates followed by condensation with 1-(chloromethyl)-2-methylimidazole. Thus, reaction of II (R = H) with di-Et carbonbate gave Et 1,2,3,9-tetrahydrocarbazol-4-one-3-carboxylate, condensation of which with 1-(chloromethyl)-2-methylimidazole gave, after treatment with 20% HCl, the hydrochloride salt of I (R = H).

ΙI

ANSWER 17 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:286649 CAPLUS

DOCUMENT NUMBER: 130:281988

TITLE: Preparation of 3-(1-imidazolyl)methyl-2,3-

dihydro-1H-carbazole-4(9H)-ones

INVENTOR(S): Jiang, Yunzhen; Hu, Song

PATENT ASSIGNEE(S): Institute of Drug, Chinese Academy of Medical

Sciences, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11 pp.

CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1115760	Α	19960131	CN 1994-107956	19940726
PRIORITY APPLN. INFO.:			CN 1994-107956	19940726
OTHER SOURCE(S):	MARPAT	130:281988		

IT 99614-02-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of 9-methyl- 3-(2-methyl-1H-imidazol-1-yl)methyl-2,3dihydro-1H-carbazole-4(9H)-one)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1yl)methyl] - (9CI) (CA INDEX NAME)

$$\bigcap_{\substack{N \\ R}} (CH_2)_{n}X$$

$$Q = -N N$$

AB Title compds. [I; X = Q; n = 1; R = alkyl, aryl, cyclopropyl, cyclopentyl; R1 = alkyl, aryl, cyclopropyl, cyclopentyl], pharmaceutically acceptable salts or solvate thereof are prepared from 9-methyl-2,3,4,9-tetrahydro-1H-carbazole, deoxy-I (X = H; n = 0; R = above), QH (R1 = above), and polyformaldehyde or formaldehyde in acidic or neutral inert solvent (toluene, butanol, dimethylbenzene, petroleum ether, ethanediol, etc) at 100°-250° in the presence of acid (HCl, HNO3, HBr, TSOH, AcOH, MeSO3H) for 10-20 h. Thus, compound I (R = CH3; R1 = CH3; X = Q) was prepared

L9 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 2

ACCESSION NUMBER:

1997:97162 CAPLUS

DOCUMENT NUMBER:

126:117973

TITLE:

Method for preparation of 1,2,3,9-tetrahydro-4H-

carbazol-4-one derivatives Ding, Juping; Ran, Hongxing

INVENTOR(S):
PATENT ASSIGNEE(S):

Beijing Sida Biological Tech. Inst., Peop. Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, 6 pp.

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

		•		
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1110970	Α	19951101	CN 1994-104549	19940429
CN 1040644	В	19981111		
PRIORITY APPLN. INFO.:			CN 1994-104549	19940429
OTHER SOURCE(S):	CASREA	CT 126:11797	3; MARPAT 126:117973	
IT 99614-02-5P				
RL: IMF (Industrial	manufa	cture); SPN	(Synthetic preparation)	; PREP
(Preparation)			_	
(Mannich reaction	n of ca	rbazolone de	rivs, with secondary am	ine

catalysts)

RN 99614-02-5 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

GI

$$\bigcap_{N} CH_{2N} \bigvee_{Me} I$$

AB Carbazolones I (R = H, Me) were prepared by Mannich reaction of carbazolones II with **formaldehyde** and 2-methylimidazole in the presence of secondary amines or their salts. Thus, Mannich reaction of N-methyl-1,2,3,9-tetrahydro-4H-carbazol-4-one with paraformaldehyde and 2-methylimidazole in the presence of dimethylamine hydrochloride gave 1,2,3,9-tetrahydro-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one.

L9 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1996:281627 CAPLUS

DOCUMENT NUMBER:

124:317164

TITLE:

Method of preparation of

1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one or their salts or their hydrates by reaction of 3-[(dialkylamino)methyl]-1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one with

2-methylimidazole over iodine

INVENTOR(S):

Lavrova, Lidiya N.; Tarasov, Sergej Yu.; Yashunskij,

Vladimir G.

PATENT ASSIGNEE(S):

Nauchno-Proizvodstvennyj Tsentr "Farmzashchita", USSR

SOURCE: Russ. From: Izobreteniya 1995, (23), 168.

CODEN: RUXXE7

DOCUMENT TYPE:

Patent

LANGUAGE:

Russian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-			
RU 2041876	C1	19950820	RU 1993-57813	19931229
PRIORITY APPLN. INFO.:			RU 1993-57813	19931229

IT 99614-02-5DP, salts 99614-02-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (method of preparation of 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-

(method of **preparation** of 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one or their salts or their hydrates)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-

yl)methyl] - (9CI) (CA INDEX NAME)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

AB Title only translated.

L9 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:353207 CAPLUS

DOCUMENT NUMBER:

125:33645

TITLE:

Preparation of 1,2,3,9-tetrahydro-9-methyl-3-

[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one

and its salts

INVENTOR(S):

Zhang, Yuebin; Wang, Anmin; Qi, Yunliang

PATENT ASSIGNEE(S):

Qilu Pharmaceutical Factory, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 8 pp.

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
					·
CN 1113913	Α	19951227	CN 1994-110609		19940527
PRIORITY APPLN. INFO.:			CN 1994-110609	Α	19940527
			CN 1994-110549		19940421

IT 99614-01-4P 99614-02-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one and its salts)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

GI

AB The title compound (I) and its salts, useful as pharmaceuticals (no data), are prepared by Mannich reaction of II. A mixture of II 30, 2-methylimidazole hydrochloride 100, and paraformaldehyde 45 g was heated to 135°, cooled to room temperature, dissolved in MeOH and the solution refluxed to give 29.5 g I.HCl.

L9 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:341824 CAPLUS

DOCUMENT NUMBER: 125:10836

TITLE: preparation of 4H-carbazolone

Mannich base compounds

INVENTOR(S): Wu, Guosheng; Zhou, Wenjun; Chen, Guoping

PATENT ASSIGNEE(S): Shanghai Organic Chemistry Inst., Chinese Academy of

Sciences, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 19 pp.

CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1113239	A	19951213	CN 1994-114310	19941229
CN 1045438	В	19991006		
PRIORITY APPLN. INFO.:			CN 1994-114310 .	19941229
IT 99614-02-5P				

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of 4H-carbazolone Mannich base compds.)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1yl)methyl] - (9CI) (CA INDEX NAME)

GΙ

AB The title compds. [I; R1 = C1-6 linear alkyl; R2-R5 = H, C1-3 alkyl], useful as precursors for 5-HT3 antagonists, are prepared A mixture of carbazolone II, paraformaldehyde, and morpholine in HOAc was refluxed ti give 88.3% Mannich base I (R1 = Me, R2-R5 = H), which was treated with 2-Me imidazole in PrOH at 95° to give 85.9% imidazolyl compound III as a 5-HT3 antagonist (no data).

ANSWER 22 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1996:349677 CAPLUS

DOCUMENT NUMBER:

125:10819

TITLE:

preparation of ondansetron and its salts

10/762,552R>

INVENTOR (S):

Wu, Guosheng; Zhou, Wenjuan; Chen, Guoping

PATENT ASSIGNEE(S):

Shanghai Organic Chemistry Inst., Chinese Academy of

Sciences, Peop. Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, 21 pp.

CODEN: CNXXEV

DOCUMENT TYPE:

Patent Chinese

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1113234	 А В	19951213	CN 1994-114311	19941229
CN 1045437 DRITY APPLN. INFO.:	В	19991006	CN 1994-114311	19941229

PRIOF

ΙT 99614-02-5P, Ondansetron 103639-04-9P, Ondansetron

hydrochloride dihydrate 128061-08-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of ondansetron and its salts)

99614-02-5 CAPLUS RN

4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-CN yl)methyl] - (9CI) (CA INDEX NAME)

103639-04-9 CAPLUS RN

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1yl)methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)

HC1

●2 H₂O

RN 128061-08-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1yl)methyl]-, monohydrochloride, monohydrate (9CI) (CA INDEX NAME)

) HCl

H₂O

GI

ΙI

AΒ Ondansetron (I) and its salts, useful as 5-HT3 antagonists (no data), are prepared A solution of succinimide in DMF was added dropwise to a solution of N-(chloromethyl)-2-methylimidazole and Na2CO3 in DMF with stirring at 60° and the mixture was heated to 100° to give 92% intermediate II, which in situ was refluxed with a solution of carbazolone III in EtOH at pH 6 to give 68.26% I. I was suspended in EtOAc and passed through a silica-gel column and eluted with 1N HCl to give 90.54% I.HCl.2H2O, which was dried in vacuo with P2O5 to give I.HCl.H2O.

ANSWER 23 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1995:997817 CAPLUS

DOCUMENT NUMBER:

124:176095

TITLE:

Preparation of 3-[(2-methyl-1-

imidazolyl)methyl]-9-methy-1,2,3,9-tetrahydro-4H-

III

carbazol-4-one

INVENTOR(S):

Dong, Jichang

PATENT ASSIGNEE(S): SOURCE:

Shanghai Medical University, Peop. Rep. China Faming Zhuanli Shenqing Gongkai Shuomingshu, 6 pp. CODEN: CNXXEV

DOCUMENT TYPE:

Patent Chinese

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
			-		
CN	1105994	A	19950802	CN 1994-112257	19940808
CN	1035672	В	19970820		
PRIORITY	Y APPLN. INFO.:			CN 1994-112257	19940808
OTHER SO	QURCE(S):	CASREA	CT 124:17609	5	
IT 996	514-02-5P				
RL:	: IMF (Industrial	manufac	cture); RCT	(Reactant); SPN (Synthe	tic
pre	eparation); PREP	(Prepara	ation); RACT	(Reactant or reagent)	•
				ethyltetrahydrocarbazol	one)
RN 996	514-02-5 CAPLUS	_	_	· · ·	
CN 4H-	-Carbazol-4-one,	1,2,3,9	-tetrahydro-	9-methyl-3-[(2-methyl-1	H-imidazol-1-
٠, ١					

yl)methyl] - (9CI) (CA INDEX NAME)

IT 99614-01-4P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of (methylimidazolyl)methyltetrahydrocarbazolone)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

The title compound (I) was prepared by reaction of 1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one (II) with CH2O or paraformaldehyde and 2-methylimidazole in organic solvent in the presence of secondary amine or secondary amine salt and acid, or acidic ion exchange resin. Thus, reaction of II with 2-methylimidazole and paraformaldehyde in the presence of dimethylamine hydrochloride and 732-type ion exchange resin in EtOH at 50-140° for 80-200 h gave I.

ANSWER 24 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:519312 CAPLUS

DOCUMENT NUMBER: 123:198558

TITLE: Synthesis, in-vitro biological evaluation and

stereoselectivity of ondansetron analogs: novel 5-HT2A

receptor antagonists

AUTHOR (S): Sigurd, Elz; Wolfgang, L. Heil

Inst. Pharmacy, Freie Univ. Berlin, Berlin (Dahlem), CORPORATE SOURCE:

D-14195, Germany

Bioorganic & Medicinal Chemistry Letters (1995), 5(7), SOURCE:

667-72

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier

DOCUMENT TYPE: LANGUAGE:

Journal English

IT **99614-02-5**, Ondansetron

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(preparation, in-vitro evaluation and stereoselectivity of

ondansetron analogs as 5-HT2A receptor antagonists)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1yl)methyl] - (9CI) (CA INDEX NAME)

GI

$$CH_2N$$
 CO
 F

AΒ The tetrahydrocarbazolone moiety of the 5-HT3 receptor antagonist ondansetron has been combined with mol. fragments of typical 5-HT2A receptor ligands. Several of the resulting compds. are potent 5-HT2A antagonists. The antipodes of the most potent compound (I) are analogs of ketanserin which display a high degree of stereoselectivity at 5-HT2A receptors (148:1).

Ι

ANSWER 25 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:533965 CAPLUS

DOCUMENT NUMBER: 121:133965

TITLE:

Process for preparing carbazolone

derivatives

INVENTOR(S): Bod, Peter; Harsanyi, Kalman; Trischler, Ferenc;

Fekecs, Eva; Csehi, Attila; Hegedues, Bela; Mersich,

Eva; Szabo, Gyoergyi; Horvath, Erika

PATENT ASSIGNEE(S): Richter Gedeon Vegyeszeti Gyar Rt., Hung.

SOURCE: Can. Pat. Appl., 28 pp.

CODEN: CPXXEB

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.		DATE	APPLICATION NO.	
CA 2106642	AA		CA 1993-2106642	19930921
HU 65378	A2		HU 1992-3223	19921014
HU 212785	В	19961128	•	
HU 67103	A2	19950228	HU 1992-3222 LV 1993-1096 LT 1993-1401	19921014
HU 212934	В	19961230	,	
LV 10948	В	19960420	LV 1993-1096	19930927
LT 3074	В	19941125	LT 1993-1401	19931004
Eb 232111	AI	19940504	EP 1993-116542	19931013
EP 595111				
EP 595111				
R: AT, BE, CH,	DE, DK	., ES, FR, GE	B, GR, IE, IT, LI, LU	J, MC, NL, PT, SE
CN 1089941	A	19940727	CN 1993-119192	19931013
CN 1052979 .	В	20000531	CN 1993-119192	
JP 06293734	A2	19941021	JP 1993-255880	19931013
JP 3378315	B2	20030217		
US 5416221	Α		US 1993-135407	
AT 157973 ES 2106936	E	19970915		
ES 2106936	T3		ES 1993-116542	
PL 174173	B1		PL 1993-300685	
PL 174526 CZ 284223	B1		PL 1993-324329	
		19980916		
RU 2119914		19981010		
SK 281243	В6	20010118	SK 1993-1110	19931013
US 5478949			US 1994-344871	
CN 1235967	A	19991124	CN 1999-106445	19990511
CN 1083430	В	20020424		
PRIORITY APPLN. INFO.:			HU 1992-3222	
		•	HU 1992-3223	
			US 1993-135407	A3 19931013

OTHER SOURCE(S): CASREACT 121:133965; MARPAT 121:133965

IT 99614-02-5, Ondansetron

RL: RCT (Reactant); RACT (Reactant or reagent)

(intermediates for, carbazolones as, preparation by

improved process)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

IT 157040-64-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation and dealkoxylation of)

RN 157040-64-7 CAPLUS

CN 1H-Carbazole-3-acetic acid, 2,3,4,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- α ,4-dioxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & Me \\ \hline & CH_2 - N \\ \hline & C - CO_2H \\ \hline & O \end{array}$$

IT 99614-01-4P, Ondansetron hydrochloride

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

GΙ

L9

$$\bigcap_{\substack{N\\\text{Me}}} A$$

AB Title compds. I (A = RCH2 wherein A = HO, 2-methyl-1H-imidazol-1-yl; B = R102CCO wherein R1 = H, Me, Et; AB = R202CC(OH): wherein R2 = Me, Et, COC02CH2) intermediates in the **preparation** of the known drug ondansetron (II), are prepared by an improved **process**. Na was added to a mixture containing 9-methyl-1,2,3,9-tetrahydro-4H-carbazol-4-one and di-Et oxalate to give I (AB = ethoxalyl) which was converted to I (AB = COC02CO). This in 1,4-dioxane and Et3N was reacted with 2-methylimidazole to give II.

ACCESSION NUMBER:

1994:270402 CAPLUS

DOCUMENT NUMBER:

120:270402

TITLE:

Process for preparation of

1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-

yl)methyl]-4H-carbazol-4-one [ondansetron]

Huguet Clotet, Juan; Caldero Ges, Jose Maria INVENTOR(S):

PATENT ASSIGNEE(S):

Vita-Invest, S.A., Spain

SOURCE:

Span., 7 pp.

CODEN: SPXXAD

DOCUMENT TYPE:

Patent

LANGUAGE:

Spanish

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	AP:	PLICATION NO.		DATE
					-	
ES 2043535	A1	19931216	ES	1992-552		19920313
ES 2043535	B1	19940801				
SK 278786	В6	19980204	SK	1993-169		19930308
CZ 281753	В6	19970115	CZ	1993-396		19930310
NO 9300887	Α	19930914	NO	1993-887		19930311
HU 64537	A2	19940128	HU	1993-718		19930312
HU 210775	В	19950728				
AT 9300487	A	19961215	ΑT	1993-487		19930312
AT 402730	В	19970825				
PL 170751	B1	19970131	\mathtt{PL}	1993-298037		19930312
RU 2109741	C1	19980427	RU	1993-4833		19930312
FI 105098	В1	20000615	FI	1993-1104		19930312
PRIORITY APPLN. INFO.:			ES	1992-552	Α	19920313
OTHER SOURCE(S):	CASREA	CT 120:27040	02			

OTHER SOURCE(S): 99614-02-5P

GΙ

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of)

RN 99614-02-5 CAPLUS

4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-CN yl)methyl] - (9CI) (CA INDEX NAME)

$$Me$$
 N
 CH_2
 N
 N

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, via intramol. Friedel-Crafts reaction

The antiemetic agent ondansetron (I) is prepared by cyclization of acid II under Friedel-Crafts acylation conditions, by acid catalysis and activation of the carboxyl group. Specifically, activation is via a mixed anhydride (preferably trifluoroacetic), and catalysis is by H3PO4. II was prepared in 3 steps: (1) C-alkylation of lithiated Et 1,2-dimethylindole-3-carboxylate by BrCH2C(:CH2)CO2H (50%); (2) alkaline saponification of the resultant

acid-ester III to give the corresponding diacid (87%); and (3) addition reaction and decarboxylation of the diacid under heating in 2-methylimidazole at 160° (71%). Finally, cyclization of II by (CF3CO)2O in MeCN containing H3PO4 catalyst at 0° gave 55% I.

L9 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1994:134367 CAPLUS

DOCUMENT NUMBER:

120:134367

TITLE:

Development of high-affinity 5-HT3 receptor

antagonists. Structure-affinity relationships of novel

1,7-annulated indole derivatives. 1

AUTHOR (S):

van Wijngaarden, Ineke; Hamminga, Derk; van Hes, Rolf; Standaar, Piet J.; Tipker, Jacobus; Tulp, Martin T. M.; Mol, Frans; Olivier, Berend; de Jonge, Adriaan

CORPORATE SOURCE:

Sect. Drug Discovery, Solvay Duphar B.V., Weesp, 1380

DA, Neth.

SOURCE:

Journal of Medicinal Chemistry (1993), 36(23), 3693-9

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE:

Journal

LANGUAGE:

English

IT 99614-02-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and 5-HT3 receptor antagonist activity of)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

GΙ

On the basis of the structures of ondansetron and GR 65,630, its AΒ ring-opened C-linked methylreceptor imidazole analog, novel 1,7-annulated indole derivs. were synthesized as potential 5-HT3 antagonists. Receptor binding studies show that all compds. display a high affinity for the 5-HT3 receptors. In both series annulation results in compds. being 7 and 4 times more potent than the refs. ondansetron and GR 65,630, resp. Similar to ondansetron, the 1,7-annulated indoles show little stereoselectivity. The (-)-isomers are only slightly more potent than the (+)-isomers. The receptor binding profile of 1-10-[(2-methyl-1H-imidazol-1-yl)methyl]-5,6,8,9,10,11-hexahydro-4H-pyrido[3,2,1-jk]carbazol-11-one hydrochloride (I) (INN cilansetron) shows that the compound displays, besides a high affinity for 5-HT3 receptors (Ki = 0.19 nM), a weak affinity for σ -receptors (Ki = 320 nM), muscarine M1 receptors (Ki = 910 nM), and 5-HT4 receptors (Ki = 960 nM) and no affinity (Ki \geq 5000 nM) for all the other receptor types tested. The new compds. fit the proposed necessary chemical template for binding: a heteroarom. ring system, a coplanar carbonyl group, and a nitrogen center at well-defined distances. The enhanced potency of the annulated 1,7-indole derivs. indicates that the extra ring provides a favorable hydrophobic area for interaction with the 5-HT3 receptor site. In vivo cilansetron is more potent and induces less central side effects than ondansetron. At present

Ι

L9 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:164116 CAPLUS

cilansetron is in clin. trials.

DOCUMENT NUMBER: 120:164116

TITLE: Synthesis of antiemetic ondansetron

AUTHOR(S): Chen, Guohua

CORPORATE SOURCE: Res. Cent. Drugs Family Plann., China Pharm. Univ.,

Nanjing, 210009, Peop. Rep. China

SOURCE: Zhongguo Yiyao Gongye Zazhi (1993), 24(6), 241-2

CODEN: ZYGZEA; ISSN: 1001-8255

DOCUMENT TYPE: Journal LANGUAGE: Chinese

OTHER SOURCE(S): CASREACT 120:164116

IT 99614-01-4P, Ondansetron hydrochloride 99614-02-5P,

Ondansetron

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, from 9H-carbazol-4-one via methylation, Mannich reaction, and reaction with methylimidazole)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

GI

AB Stirring a mixture of 1,2,3,9-tetrahydro-4H-carbazol-4-one, K2CO3, acetone, and Me2SO4 at room temperature for 36 h gave the 9-Me derivative, whose Mannich reaction with paraformaldehyde and Me2NH.HCl gave the 9-methyl-3-[(dimethylamino)methyl] derivative, which was treated with 2-methyl-1H-imidazole followed by treatment with HCl gave the title compound (I).

L9 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1990:509339 CAPLUS

Ι

DOCUMENT NUMBER:

113:109339

TITLE:

Enhancement of antiemetic activity of a carbazolone derivative by cyclooxygenase

inhibitors

INVENTOR(S):

Bunce, Keith Thomas; Humphrey, Patrick Paul Anthony

PATENT ASSIGNEE(S):

Glaxo Group Ltd., UK

SOURCE:

Ger. Offen., 6 pp.

DOCUMENT TYPE:

CODEN: GWXXBX Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 3922263	A1	19900111	DE 1989-3922263		19890706
DK 8903364	Α	19900108	DK 1989-3364		19890706
SE 8902458	Α	19900108	SE 1989-2458		19890706
GB 2220352	A1	19900110	GB 1989-15499		19890706
GB 2220352	B2	19920318			
AU 8937904	. A1	19900111	AU 1989-37904		19890706
AU 633496	B2	19930204			
FR 2633831	A1	19900112	FR 1989-9113		19890706
FR 2633831	B1	19931119	•		
NL 8901727	Α	19900201	NL 1989-1727		19890706
JP 02076815	A2	19900316	JP 1989-175419		19890706
ZA 8905142	Α	19900627	ZA 1989-5142		19890706
BE 1002295	A5	19901120	BE 1989-740		19890706
US 4983621	Α	19910108	US 1989-375913		19890706
CH 679553	Α	19920313	CH 1989-2511		19890706
CA 1330306	A1	19940621	CA 1989-604967		19890706
PRIORITY APPLN. INFO.:			GB 1988-16187	Α	19880707
OMITTE COLLEGE (a)	ON OR D	OF 112 1002	2.0		

OTHER SOURCE(S):

CASREACT 113:109339

IT 99614-01-4

RL: BIOL (Biological study)

(antiemetic, enhancement of activity of, by cyclooxygenase inhibitors)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

IT 99614-02-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as antiemetic)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

AB The antiemetic activity of 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one (I) is enhanced by cyclooxygenase inhibitors, such as indomethacin and piroxicam (no data). I was prepared by refluxing 3-[(dimethylamino)methyl]-1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one-HCl with 4-methylimidazole in H2O, for 20 h. Tablets comprised I-HCl.2H2O 5.0, piroxicam 20.0, lactose 67.4, cellulose 25.73, starch 6.25, and Mg stearate 0.62 mg/each.

L9 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1989:205704 CAPLUS

DOCUMENT NUMBER:

110:205704

TITLE:

Imidazolylmethylcarbazolone derivative as

antidepressant

PATENT ASSIGNEE(S):

Glaxo Group Ltd., UK

SOURCE:

Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

1

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 63165314	A2	19880708	JP 1987-318455		19871216
JP 2732844	B2	19980330			
DK 8706627	Α	19880618	DK 1987-6627		19871216
AU 8782617	A1	19880623	AU 1987-82617		19871216
AU 608794	B2	19910418			
EP 276559	A2	19880803	EP 1987-311082		19871216
EP 276559	A3	19891018			
EP 276559	В1	19920805			
R: AT, BE, CH,	DE, ES	S, FR, GB,	GR, IT, LI, LU, NL,	SE	
US 4835173	Α	19890530	US 1987-133887		19871216
AT 79031	E	19920815	AT 1987-311082		19871216
ES 2051754	Т3	19940701	ES 1987-311082		19871216
ZA 8709458	A	19881130	ZA 1987-9458		19871217
PRIORITY APPLN. INFO.:			GB 1986-30071	Α	19861217
			EP 1987-311082	Α	19871216

IT 99614-01-4P 99614-02-5P 103639-04-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as antidepressant)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 103639-04-9 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)

● HCl

●2 H₂O

GI

AB 1,2,3,9-Tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one (I), its physiol.-acceptable salts, and its solvates are prepared as antidepressants. 3-[(Dimethylamino)methyl]-1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one-HCl in water was treated with 2-methylimidazole, and the mixture refluxed 20 h, cooled, and filtered. The residue was washed with water and crystallized in MeOH to give I m.p. 231-232°.

L9 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1989:141572 CAPLUS

DOCUMENT NUMBER:

110:141572

TITLE:

1,2,3,9-Tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-.

yl)methyl]-4H-carbazol-4-one for treatment of

cognitive disorders

INVENTOR(S):

Tyers, Michael Brian Glaxo Group Ltd., UK

PATENT ASSIGNEE(S): SOURCE:

Eur. Pat. Appl., 9 pp. CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE .	APPLICATION NO.	DATE
EP 275668	A2	19880727	EP 1987-311078	19871216
EP 275668	A3	19891011		
EP 275668	B1	19920930		
R: AT, BE, CH,	DE, ES	, FR, GB, G	R, IT, LI, LU, NL, SE	
DK 8706626	Α	19880618	DK 1987-6626	19871216
AU 8782614	A1	19880623	AU 1987-82614	19871216
AU 618520	B2	19920102		
JP 63253083	A2	19881020	JP 1987-318456	19871216
US 4845115	Α	19890704	US 1987-133884	19871216
AT 81001	E	19921015	AT 1987-311078	19871216
ES 2052585	Т3	19940716	ES 1987-311078	19871216
ZA 8709457	Α	19881130	ZA 1987-9457	19871217
PRIORITY APPLN. INFO.:			GB 1986-30075	A 19861217
			GB 1987-26424	A 19871111
•			EP 1987-311078	A 19871216

ΙT 99614-01-4P 99614-02-5P 103639-04-9P

RL: PREP (Preparation)

(preparation of, as drug for treatment of cognitive disorders)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1yl)methyl] - (9CI) (CA INDEX NAME)

RN 103639-04-9 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, dihydrate (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} \\ \hline \\ \text{N} \\ \hline \\ \text{O} \end{array}$$

HCl

●2 H₂O

AB The title compound (I) is a drug for the treatment of dementia and other cognitive disorders. A mixture of 3-[(dimethylamino)methyl]-1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one-HCl, 2-methylimidazole and H2O was refluxed for 20 h, to give I. I (1 and 10 mg/kg; s.c.) administered twice a day improved the performance of marmosets in a reverse learning task (Baker, H. F., et al., 1987). A tablet contained I 4.6888, CaHPO4 83.06, croscarmellose Na 1.8 and Mg stearate 0.45 mg.

L9 ANSWER 32 OF 35' CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:160385 CAPLUS

DOCUMENT NUMBER: 110:160385

TITLE: Antiemetic pharmaceuticals containing

1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-

yl)methyl]-4H-carbazol-4-one and ranitidine

SOURCE: Grand Group Etd., or Group Etd., or Grand Group Etd., or Grand Group Etd., o

CODEN: GWXXBX DOCUMENT TYPE: Patent

LANGUAGE: Facence German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3740351	A1	19880609	DE 1987-3740351	19871127

AU 609028	B2	19910426	AU	1986-67037		19861230
AU 8667037	A1	19880630				
DK 8706246	Α	19880529	DK	1987-6246		19871127
SE 8704747	Α	19880529	SE	1987-4747		19871127
NL 8702853	Α	19880616	NL	1987-2853		19871127
GB 2200046	A1	19880727	GB	1987-27836		19871127
GB 2200046	B2	19900926				
JP 63198623	A2	19880817	JP	1987-299653		19871127
FR 2613934	A1	19881021	FR	1987-16489		19871127
FR 2613934	В1	19930709				
ZA 8708927	Α	19881026	ZA	1987-8927		19871127
CH 672068	Α	19891031	CH	1987-4613		19871127
BE 1002249	A4	19901106	BE	1987-1354		19871127
CA 1296637	A1	19920303	CA	1987-552962		19871127
AT 8703125	Α	19920515	AT	1987-3125		19871127
AT 395374	В	19921210				
IL 84638	A1	19920525	ΙL	1987-84638		19871127
AU 8781914	A1	19880602	AU	1987-81914		19871130
AU 616386	B2	19911031				
PRIORITY APPLN. INFO.:			GB	1986-28474	Α	19861128
OTHER SOURCE(S):	CASRE	ACT 110:1603	85			

OTHER SOURCE(S):

CASREACT 110:160385

ΙT 119884-17-2

RL: BIOL (Biological study)

(pharmaceuticals, for promotion of gastric emptying or prophylaxis of nausea and vomiting)

RN 119884-17-2 CAPLUS

4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-CN yl)methyl]-, monohydrochloride, dihydrate, mixt. with N-[2-[[[5-[(dimethylamino)methyl]-2-furanyl]methyl]thio]ethyl]-N'-methyl-2-nitro-1,1ethenediamine monohydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 103639-04-9

CMF C18 H19 N3 O . Cl H . 2 H2 O

HC1

●2 H₂O

CM 2

CRN 66357-59-3

CMF C13 H22 N4 O3 S . C1 H

$$\begin{array}{c} \text{NHMe} \\ \text{Me}_2\text{N-CH}_2 \\ \text{O} \\ \text{CH}_2\text{-S-CH}_2\text{-CH}_2\text{-NH-C} \\ \text{CH-NO}_2 \\ \end{array}$$

● HCl

IT 99614-01-4P 99614-02-5P

RL: PREP (Preparation)

(preparation of, for pharmaceutical use)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

AB Pharmaceuticals for use in human or veterinary medicine contain 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl)]-4H-carbazol-4-one (I) or its salt or solvate and ranitidine (II) or its salt. 3-[(Dimethylamino)methyl]-1,2,3,9-tetrahydro-9-methyl-4H-carbazol-4-one-HCl (1.7 g) was refluxed with 1.4 g 2-methylimidazole in H2O for 20 h to give 1.4 g I, which (18.3 g) was treated with a mixture containing iso-PrOH 90, H2O 18.3, and concentrate HCl 6.25 mL at room temperature for 17 h to give 20.6 q

I-HCl.2H2O (III). Tablets contained II-HCl 168.00, III 5.00, microcryst. cellulose 100.00, anhydrous lactose 75.25, and Mg stearate 1.75 mg each.

L9 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1988:549526 CAPLUS

DOCUMENT NUMBER:

109:149526

TITLE:

Preparation of imidazolylmethylcarbazolones

as central nervous system agents

INVENTOR(S):

Coates, Ian Harold; Mitchell, William Leonard; Humber,

David Cedric; Bell, James Angus; Ewan, George Blanch

PATENT ASSIGNEE(S): Glaxo Group Ltd., UK

SOURCE:

Ger. Offen., 8 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	- -			
DE 3724322	A1	19880128	DE 1987-3724322	19870722
GB 2192885	A1	19880127	GB 1987-17353	19870722
GB 2192885	B2	19900207		
FR 2601951	A1	19880129	FR 1987-10388	19870722
FR 2601951	B1	19910426		
JP 63035570	A2	19880216	JP 1987-183240	19870722
NL 8701728	Α	19880216	NL 1987-1728	19870722
BE 1000730	A5	19890321	BE 1987-814	19870722
CH 674008	A	19900430	CH 1987-2780	19870722
PRIORITY APPLN. INFO.:			GB 1986-17994 A	19860723
OTHER SOURCE(S):	MARPAT	109:149526		

ΙT 99614-02-5

> RL: RCT (Reactant); RACT (Reactant or reagent) (methylation of, in preparation of CNS agent)

99614-02-5 CAPLUS RN

4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-CNyl)methyl] - (9CI) (CA INDEX NAME)

IT 116778-19-9P 116778-20-2P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as nervous system agent)

RN 116778-19-9 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-3,9-dimethyl-3-[(2-methyl-1Himidazol-1-yl)methyl] - (9CI) (CA INDEX NAME)

RN 116778-20-2 CAPLUS

CN Benzenesulfonic acid, methyl ester, compd. with 1,2,3,9-tetrahydro-3,9-dimethyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 116778-19-9 CMF C19 H21 N3 O

CM 2

CRN 80-18-2 CMF C7 H8 O3 S

GI

Ι

AB The title compds. [I; R1 = H, alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, Ph, phenylalkyl, (modified) carboxylate, sulfonyl; one of R2, R3, R4 = H, alkyl, cycloalkyl, alkenyl, phenylalkyl, the others = H, alkyl; R5 = alkyl] were prepared as central nervous system agents (no data). 1,2,3,9-Tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one was treated with LDA in THF at -78° over 5.5 h and then MeI was added. The mixture was stirred 2 h at -78° and 13 h at room temperature to give 1,2,3,9-tetrahydro-3,9-dimethyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one, which was converted to its tosylate salt.

L9 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:8041 CAPLUS

DOCUMENT NUMBER: 110:8041

TITLE: Preparation and use of carbocyclic and

heterocyclic esters and amides and

imidazolylcarbazoles for treatment of psychosis,
rhinitis, and pulmonary embolism and for facilitation

of the nasal resorption of drugs

INVENTOR(S): Azria, Moise; Buchheit, Karl Heinz; Dixon, Keith

Arnold; Engel, Guenther; Giger, Rudolf Karl Andreas

PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 27 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3724059	A1	19880218	DE 1987-3724059	19870721
NL 8701682	Α	19880216	NL 1987-1682	19870716
HU 45895	A2	19880928	HU 1987-3252	19870716
HU 202108	В	19910228		•
FR 2602142	A1	19880205	FR 1987-10519	19870722
FR 2602142	B1	19960705		
CH 675072	Α	19900831	CH 1987-2831	19870723
BE 1005921	A4	19940315	BE 1987-818	19870723
NO 8703133	Α	19880201	NO 1987-3133	19870727
GB 2193633	A1	19880217	GB 1987-17768	19870727
GB 2193633	B2	19910417		
DK 8703924	Α	19880131	DK 1987-3924	19870728
FI 8703280	Α	19880131	FI 1987-3280	19870728
AU 8776190	A1	19880204	AU 1987-76190	19870728
AU 610074	B2	19910516		
SE 8702980	Α	19880428	SE 1987-2980	19870728
SE 504184	C2	19961202		
ES 2010227	A 6	19891101	ES 1987-2207	19870728
IL 83363	A1	19930708	IL 1987-83363	19870728
IL 96796	A1	19940731	IL 1987-96796	19870728
IL 96797	A1	19941229	IL 1987-96797	19870728
JP 63041429	A2	19880222	JP 1987-193629	19870729
JP 2632858	B2	19970723		
AT 8701912	Α	19930515	AT 1987-1912	19870729
AT 396870	В	19931227		
CA 1327750	A1	19940315	CA 1987-543271	19870729
ZA 8705652	Α	19890628	ZA 1987-5652	19870730
ES 2016440	A6	19901101	ES 1989-1137	19890331
ZA 8903145	Α	19890628	ZA 1989-3145	19890427
ZA 8903146	Α	19890628	ZA 1989-3146	19890730

GB 223126		A1	19901114	GB ·	1990-8068		19900410
· GB 223126	=	B2	19910424		•		
GB 223126	5	A1	19901114	GB	1990-8069		19900410
GB 223126	5	B2	19910424		4		
AU 917194	6	A1	19910509	ΑU	1991-71946		19910227
AU 642210		B2	19931014				
AU 917291	0	A1	19910516	ΑU	1991-72910		19910314
AU 637878		B2	19930610				
CA 133407	5	A1	19950124	CA	1992-616654		19920909
US 556114	9	Α	19961001	US	1995-403620		19950314
PRIORITY APPLN	. INFO.:			GB	1986-18614	Α	19860730
				DE	1986-3626703	A1	19860807
				GB	1987-17768	A3	19870727
,					1987-78336	В1	19870727
				ΙL	1987-83363	A3	19870728
				CA	1987-543271	A3	19870729
				US	1989-423916	В1	19891019
				US	1991-701934	В1	19910517
				US	1992-890493	B1	19920528
					1993-3926	B1	19930113
					1993-111805	B1	19930825
				03	T)))-TTT003	υŢ	17730023

OTHER SOURCE(S):

MARPAT 110:8041

Ι

IT 99614-02-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, for lung embolism and mental disorder and rhinitis treatment)

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

GΙ

$$CO_2$$
 NMe
 N

AΒ Carboxylate and sulfonate esters, carboxamides, and sulfonamides of a variety of N-containing heterocyclic alcs. and amines with a variety of mono- and bicyclic carbocyclic and heterocyclic acids and imidazolylmethyltetrahydrocarbazolones I (R1 = H, C1-10 alkyl, C3-9 cycloalkyl, C3-6 alkenyl, Ph, phenylalkyl; R2-R4 = H, C1-6 alkyl, C3-7 cycloalkyl, C2-4 alkenyl, phenylalkyl) were prepared (.apprx.80 compds.) for treatment of psychotic disorders, rhinitis, and pulmonary embolism and to improve the nasal resorption of other drugs such as peptides. endo-8-Methyl-8-azabicyclo[3.2.1]oct-3-yl indole-3-carboxylate (II) at $0.01\text{--}100~\mu\text{g/kg}$ i.p. reversed the stress-induced inhibition of social behavior in mice, and at 1-10 mg/kg orally inhibited the stress-induced elevation of plasma corticosterone in mice in a manner similar to diazepam. II reached a level of 200 ng/mL in the plasma 5-10 mins. after nasal administration, compared to 30-40 mins. after oral administration of the same dose. A nasal spray for treatment of rhinitis or pulmonary embolism contained II-HCl 100 mg, benzalkonium chloride 0.1 mg, 0.9% aqueous NaCl 0.6 mL, and distilled water 0.4 mL. Pseudotropine was chlorinated to 3-chloro-8-methyl-8-azabicyclo[3.2.1]octane, which was converted successively to the 3-cyano, 3-methoxycarbonyl, 3-carboxy, and 3-chlorocarbonyl derivs. followed by reaction with MeMgI and indole to produce 3β -(indole-3-carbonyl)-8-methyl-8-azabicyclo[3.2.1]octane.

L9 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 3

ACCESSION NUMBER:

1986:19589 CAPLUS

DOCUMENT NUMBER:

104:19589

TITLE:

Heterocyclic compounds acting on specific

5-hydroxytryptamine receptors

INVENTOR(S):

Coates, Ian Harold; Bell, James Angus; Humber, David

Cedric; Ewan, George Blanch

PATENT ASSIGNEE(S):

SOURCE:

Glaxo Group Ltd., UK Ger. Offen., 58 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

LANGUAGE:

Patent

German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3502508 DE 3502508	A1 C2	19850814 19900503	DE 1985-3502508	19850125
BE 901576	A1	19850725	BE 1985-214394	19850125
DK 8500357	Α	19850726	DK 1985-357	19850125
DK 169521	B1	19941121		
FI 8500323	Α	19850726	FI 1985-323	19850125
FI 84349	В	19910815		
FI 84349	С	19911125		
NO 8500300	Α	19850726	NO 1985-300	19850125
NO 164025	В	19900514		
NO 164025	C	19900822	•	
SE 8500368	A	19850726	SE 1985-368	19850125
SE 460359	В	19891002		
SE 460359	С	19900201		
AU 8538097	A1	19850801	AU 1985-38097	19850125
AU 579132	B2	19881117		
NL 8500202	Α	19850816	NL 1985-202	19850125
NL 190373	В	19930901		
NL 190373	С	19940201		
GB 2153821	A1	19850829	GB 1985-1889	19850125
GB 2153821	B2	19880120		

FR 2561244	A1	19850920	FR	1985-1056		19850125
FR 2561244	B1	19880304				
JP 60214784	A2	19851028	JP	1985-12318		19850125
JP 03078862	B4	19911217				
HU 37784	A2	19860228	HU	1985-296		19850125
HU 193592	В	19871130				•
ES 539852	A1	19860716	ES	1985-539852		19850125
ZA 8500619	Α	19860924	ZA	1985-619		19850125
CH 664152	Α	19880215	CH	1985-346		19850125
IL 74165	A1	19881115	IL	1985-74165		19850125
CA 1252793	A1	19890418	CA	1985-472888		19850125
AT 8500204	Α	19900815	ΑT	1985-204		19850125
AT 392276	В	19910225				
CN 85105643	A	19870506	CN	1985-105643		19850724
CN 1011237	В	19910116		•		
ES 548430	A1	19871001	ES	1985-548430		19851031
ES 556101	A1	19871216	ES	1986-556101		19860616
US 4695578	A	19870922	US	1986-931032		19861117
SK 277923	В6	19950809	SK	1991-4043		19911223
PRIORITY APPLN. INFO.:			GB	1984-1888	Α	19840125
			GB	1984-25959	Α	19841015
				1985-1727	A	19850123
				1985-1728	A	19850123
				1985-694790	A2	19850125
				1986-820743		19860122
			U.J	1700 020743	W.T	17000122

OTHER SOURCE(S):

CASREACT 104:19589

IT 99614-73-0P 99614-74-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and decomposition of)

RN 99614-73-0 CAPLUS

CN Butanedioic acid, 2,3-bis[(4-methylbenzoyl)oxy]-, [S-(R*,R*)]-, compd. with (S)-1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one (9CI) (CA INDEX NAME)

CM 1

CRN 99614-58-1 CMF C18 H19 N3 O

Absolute stereochemistry.

CM 2

CRN 32634-68-7 CMF C20 H18 O8

Absolute stereochemistry. Rotation (+).

RN 99614-74-1 CAPLUS

CN Butanedioic acid, 2,3-bis[(4-methylbenzoyl)oxy]-, [R-(R*,R*)]-, compd. with (R)-1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-4H-carbazol-4-one (9CI) (CA INDEX NAME)

CM 1

CRN 99614-60-5 CMF C18 H19 N3 O

Absolute stereochemistry. Rotation (+).

CM 2

CRN 32634-66-5 CMF C20 H18 O8

Absolute stereochemistry.

IT 99614-01-4P 99614-02-5P 99614-12-7P

99614-50-3P 99614-51-4P 99614-58-1P

99614-59-2P 99614-60-5P 99614-61-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as analgesic and antidepressant)

RN 99614-01-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 99614-02-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 99614-12-7 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 99614-02-5 CMF C18 H19 N3 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 99614-50-3 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 99614-02-5 CMF C18 H19 N3 O

CM 2

CRN 7664-38-2 CMF H3 O4 P

RN 99614-51-4 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 99614-02-5 CMF C18 H19 N3 O

$$\begin{array}{c|c} Me & \\ \hline \\ N & \\ \hline \\ O & \\ \end{array}$$

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C} - \text{CH}_2 - \text{C} - \text{CH}_2 - \text{CO}_2\text{H} \\ | \\ \text{OH} \end{array}$$

RN 99614-58-1 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 99614-59-2 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, (3S)-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 99614-58-1 CMF C18 H19 N3 O

Absolute stereochemistry.

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 99614-60-5 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 99614-61-6 CAPLUS

CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, (3R)-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 99614-60-5 CMF C18 H19 N3 O

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

GI

$$\begin{array}{c|c} & & & & \\ & &$$

AB Antidepressant and analgesic (no data) 3-(imidazol-2-ylmethyl)-4H-carbazol-4-ones I (R1 = H, alkyl, alkenyl, Ph, phenylalkyl; 1 of R2-R4 = H, alkyl, alkenyl, phenylalkyl, the others = H, alkyl) were prepared Thus, 3.809 3-[(dimethylamino)methyl]-1,2,3,9-tetrahydro-4H-carbazol-4-one was treated with MeI to give 5.72 g 2,3,4,9-tetrahydro-N,N,N,9-tetramethyl-4-oxo-1H-carbazole-4-methanaminium iodide which (2.0 g) was stirred at 95° in DMF with 2-methylimidazole to give 0.60 g I (R1 = R2 = Me, R3 = R4 = H).

=> log y		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	190.27	352.24
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-25.55	-25.55

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